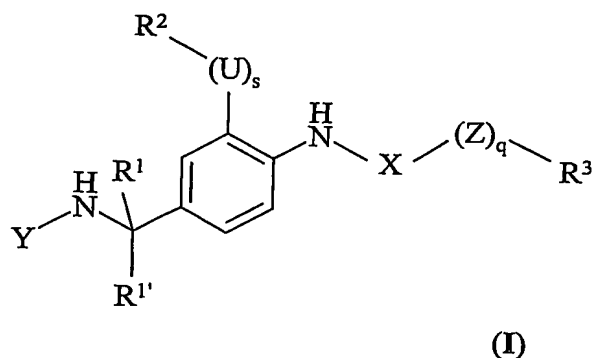


Claims

1. A substituted aniline derivative of formula I



5

wherein

U is O, S or NR^{2'};

10 s is 0 or 1;

X is CO or SO₂;

15 Z is O, S or NR⁴, wherein R⁴ is selected from the group consisting of hydrogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, hydroxy-C₁₋₆-alk(en/yn)yl and hydroxy-C₃₋₈-cycloalk(en)yl;

q is 0 or 1;

20 R¹ and R^{1'} are independently selected from the group consisting of hydrogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, acyl, hydroxy-C₁₋₆-alk(en/yn)yl, hydroxy-C₃₋₈-cycloalk(en)yl, halo-C₁₋₆-alk(en/yn)yl and halo-C₃₋₈-cycloalk(en)yl;

25 R² is selected from the group consisting of hydrogen, halogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, Ar, Ar-C₁₋₆-alk(en/yn)yl, Ar-C₃₋₈-cycloalk(en)yl, acyl, hydroxy-C₁₋₆-alk(en/yn)yl, hydroxy-

C₃₋₈-cycloalk(en)yl, halo-C₁₋₆-alk(en/yn)yl, halo-C₃₋₈-cycloalk(en)yl and cyano;
provided that when R² is halogen or cyano, then s is 0;

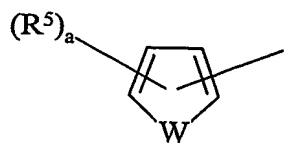
when s is 1 and U is NR^{2'} then R^{2'} is selected from the group consisting of
hydrogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-
alk(en/yn)yl, Ar, Ar-C₁₋₆-alk(en/yn)yl, Ar-C₃₋₈-cycloalk(en)yl, acyl, hydroxy-C₁₋₆-
alk(en/yn)yl, hydroxy-C₃₋₈-cycloalk(en)yl, halo-C₁₋₆-alk(en/yn)yl and halo-C₃₋₈-
cycloalk(en)yl; or R² and R^{2'} together form a 5-8 membered saturated or
unsaturated ring which optionally contains one further heteroatom;

R³ is selected from the group consisting of C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl,
C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, Ar, Ar-C₁₋₆-alk(en/yn)yl, Ar-C₃₋₈-
cycloalk(en)yl, hydroxy-C₁₋₆-alk(en/yn)yl, hydroxy-C₃₋₈-cycloalk(en)yl, halo-C₁₋₆-
alk(en/yn)yl and halo-C₃₋₈-cycloalk(en)yl;

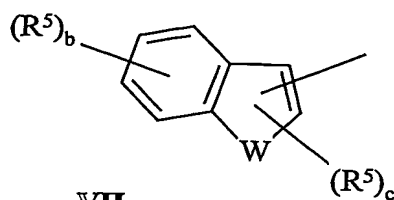
and

Y represents a group of formulae VI, VII, VIII, IX or XXX:

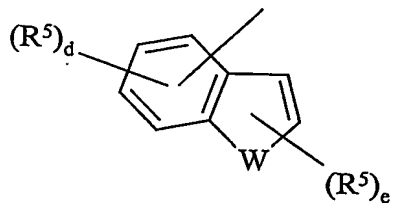
87



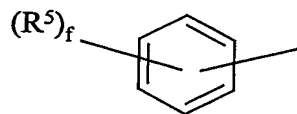
VI



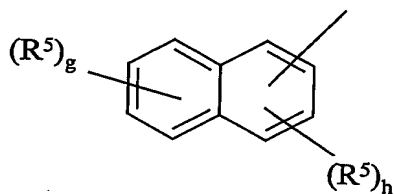
VII



VIII



IX



XXX

wherein

5

the line represents a bond attaching the group represented by Y to the nitrogen atom;

W is O or S;

10

a is 0, 1, 2 or 3;

b is 0, 1, 2, 3 or 4;

15

c is 0 or 1;

d is 0, 1, 2 or 3;

e is 0, 1 or 2;

f is 0, 1, 2, 3, 4 or 5;

5 g is 0, 1, 2, 3 or 4;

h is 0, 1, 2 or 3; and

10 each R^5 is independently selected from the group consisting of a C_{1-6} -alk(en/yn)yl, C_{3-8} -cycloalk(en)yl, Ar, C_{3-8} -cycloalk(en)yl- C_{1-6} -alk(en/yn)yl, Ar- C_{1-6} -alk(en/yn)yl, acyl, C_{1-6} -alk(an/en/yn)yl, halo, halo- C_{1-6} -alk(en/yn)yl, $-CO-NR^6R^{6'}$, cyano, nitro, $-NR^7R^{7'}$, $-S-R^8$, $-SO_2R^8$ and SO_2OR^8 , or two substituents together form a 5-8 membered saturated or unsaturated ring which optionally contains one or two heteroatoms;

15 R^6 and $R^{6'}$ are independently selected from the group consisting of hydrogen, C_{1-6} -alk(en/yn)yl, C_{3-8} -cycloalk(en)yl, C_{3-8} -cycloalk(en)yl- C_{1-6} -alk(en/yn)yl and Ar;

20 R^7 and $R^{7'}$ are independently selected from the group consisting of hydrogen, C_{1-6} -alk(en/yn)yl, C_{3-8} -cycloalk(en)yl, C_{3-8} -cycloalk(en)yl- C_{1-6} -alk(en/yn)yl, Ar and acyl; and

25 R^8 is selected from the group consisting of hydrogen, C_{1-6} -alk(en/yn)yl, C_{3-8} -cycloalk(en)yl, C_{3-8} -cycloalk(en)yl- C_{1-6} -alk(en/yn)yl, Ar and $-NR^9R^{9'}$; wherein R^9 and $R^{9'}$ are independently selected from the group consisting of hydrogen, C_{1-6} -alk(en/yn)yl, C_{3-8} -cycloalk(en)yl and C_{3-8} -cycloalk(en)yl- C_{1-6} -alk(en/yn)yl; with the provisos that when R^5 is SO_2OR^8 then R^8 is not $-NR^9R^{9'}$ and when R^5 is SO_2R^8 , then R^8 is not a hydrogen atom;

30 or salts thereof;

with the proviso that the compound of formula I is not:

N-[4-[(4-aminophenyl)amino]methyl]phenyl]-acetamide;

N-[4-[(4-amino-2-methylphenyl)amino]methyl]phenyl]-acetamide;

N-[4-[[[4-amino-3-methylphenyl]amino]methyl]phenyl]-acetamide;
2-[[[4-(acetylamino)phenyl]methyl]amino]-5-chloro-N-(5-chloro-2-pyridinyl)-
benzamide;
N-[4-[[[3,4,5-trimethoxyphenyl]amino]methyl]phenyl]-acetamide;
5 N-[4-[[[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-
naphthalenyl]amino]methyl]phenyl]-acetamide;
N-[4-[[[3-(1H-imidazol-1-ylmethyl)phenyl]amino]methyl]phenyl]- acetamide;
N-[4-[[[2-(1H-imidazol-1-ylmethyl)phenyl]amino]methyl]phenyl]-acetamide;
N-[4-[[[4-amino-3,5-dichlorophenyl]amino]methyl]phenyl]- acetamide;
10 N-[4-[[[2,4-diamino-6-quinazolinyl]amino]methyl]phenyl]- acetamide; or
N-[4-[[[2,4-diamino-6-quinazolinyl]amino]methyl]phenyl]- acetamide.

2. A compound according to Claim 1, wherein R^1 and $R^{1'}$ are independently
selected from the group consisting of hydrogen and C_{1-6} -alk(en/yn)yl.

3. A compound according to Claim 2, wherein at least one of R^1 and $R^{1'}$ is a
hydrogen atom.

4. A compound according to any one of Claims 1-3, wherein s is 1.

5. A compound according to any one of Claims 1-3, wherein s is 0.

6. A compound according to any one of Claims 4-5, wherein R^2 is selected from the
group consisting of hydrogen, C_{1-6} -alk(en/yn)yl, Ar and halogen, provided that
when R^2 is halogen, then s is 0.

7. A compound according to Claim 4, wherein U is $NR^{2'}$ and at least one of R^2 and
 $R^{2'}$ is a hydrogen atom.

8. A compound according to Claim 7, wherein both R^2 and $R^{2'}$ are hydrogen atoms.

9. A compound according to any one of Claims 1-8, wherein X is CO.

10. A compound according to any one of Claims 1-9, wherein **q** is 0.
11. A compound according to any one of Claims 1-9, wherein **q** is 1.
- 5 12. A compound according to Claim 11, wherein **Z** is an oxygen atom.
13. A compound according to any one of Claims 1-12, wherein **R**³ is C₁₋₆-alk(en/yn)yl.
- 10 14. A compound according to any one of Claims 1-13 wherein **Y** represents a group of formulae **IX** or **XXX**.
15. A compound according to any one of Claims 1-14, wherein each **R**⁵ is independently selected from the group consisting of a C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, Ar, cyano, halogen, halo-C₁₋₆-alk(en/yn)yl and C₁₋₆-alk(an/en/yn)yl or two adjacent substituents together form a 5-8 membered saturated or unsaturated ring which optionally contains one or two heteroatoms.
- 15 16. A compound according to any one of Claims 1-15, said compound being selected from the group consisting of:
 - {2-Amino-4-[(4-tert-butylphenylamino)-methyl]-phenyl}-carbamic acid ethyl ester;*
 - (2-Amino-4-phenylaminomethyl-phenyl)-carbamic acid ethyl ester;*
 - [2-Amino-4-(naphthalen-2-ylaminomethyl)-phenyl]-carbamic acid ethyl ester;*
 - 25 *[2-Amino-4-(p-tolylamino-methyl)-phenyl]-carbamic acid ethyl ester;*
 - {2-Amino-4-[(4-trifluoromethylphenylamino)-methyl]-phenyl}-carbamic acid ethyl ester;*
 - {2-Amino-4-[(4-chlorophenylamino)-methyl]-phenyl}-carbamic acid ethyl ester;*
 - {2-Amino-4-[(3-fluorophenylamino)-methyl]-phenyl}-carbamic acid ethyl ester;*
 - 30 *{2-Amino-4-[(4-fluorophenylamino)-methyl]-phenyl}-carbamic acid ethyl ester;*
 - {2-Amino-4-[(2-fluorophenylamino)-methyl]-phenyl}-carbamic acid ethyl ester;*
 - [2-Amino-4-(biphenyl-4-ylaminomethyl)-phenyl]-carbamic acid ethyl ester;*

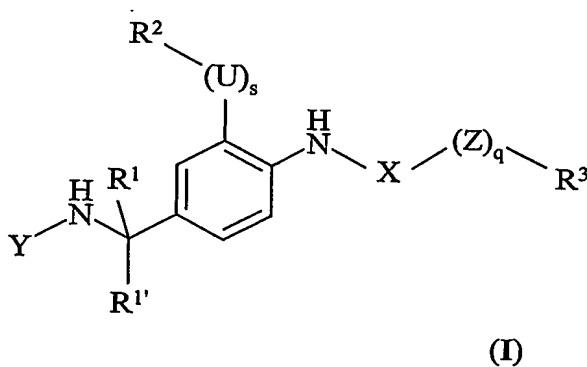
- {2-Amino-4-[(2,4-difluorophenylamino)-methyl]-phenyl}-carbamic acid ethyl ester;*
- {2-Amino-4-[(4-methoxyphenylamino)-methyl]-phenyl}-carbamic acid ethyl ester;*
- 5 *{2-Amino-4-[(4-cyclohexylphenylamino)-methyl]-phenyl}-carbamic acid ethyl ester;*
- [2-Amino-4-(indan-5-ylaminomethyl)-phenyl]-carbamic acid ethyl ester;*
- {2-Amino-4-[(4-isopropylphenylamino)-methyl]-phenyl}-carbamic acid ethyl ester;*
- 10 *{2-Amino-4-[(4-butylphenylamino)-methyl]-phenyl}-carbamic acid ethyl ester;*
- {2-Amino-4-[(4-chloro-3-fluorophenylamino)methyl]phenyl}carbamic acid ethyl ester;*
- {2-Amino-4-[(2,4-dichlorophenylamino)methyl]phenyl}carbamic acid ethyl ester;*
- {2-Amino-4-[(2,3-dichlorophenylamino)methyl]phenyl}carbamic acid ethyl ester;*
- 15 *{2-Amino-4-[(3,5-dichlorophenylamino)methyl]phenyl}carbamic acid ethyl ester;*
- {2-Amino-4-[(3,4-dichlorophenylamino)methyl]phenyl}carbamic acid ethyl ester;*
- {2-Amino-4-[(3-trifluoromethylphenylamino)methyl]phenyl}carbamic acid ethyl ester;*
- {2-Amino-4-[(3-fluoro-4-trifluoromethylphenylamino)methyl]phenyl}carbamic acid ethyl ester;*
- 20 *{2-Amino-4-[(3,4-difluorophenylamino)methyl]phenyl}carbamic acid ethyl ester;*
- {2-Amino-4-[(4-cyanophenylamino)methyl]phenyl}carbamic acid ethyl ester;*
- {2-Amino-4-[(4-fluoro-3-trifluoromethylphenylamino)methyl]phenyl}carbamic acid ethyl ester;*
- 25 *{2-Amino-4-[(3-chloro-4-methylphenylamino)methyl]phenyl}carbamic acid ethyl ester;*
- {2-Amino-4-[(3-chlorophenylamino)methyl]phenyl}carbamic acid ethyl ester;*
- [2-Amino-4-(m-tolylaminomethyl)phenyl]carbamic acid ethyl ester;*
- {2-Amino-4-[1-(4-chlorophenylamino)ethyl]phenyl}carbamic acid ethyl ester;*
- 30 *{2-Amino-4-[1-(4-trifluoromethylphenylamino)ethyl]phenyl}carbamic acid ethyl ester;*
- N-{2-Amino-4-[(3-fluorophenylamino)methyl]phenyl}-2,2-dimethylpropionamide;*
- {4-[(4-Chlorophenylamino)methyl]phenyl}carbamic acid ethyl ester;*

- {4-[(4-Trifluoromethylphenylamino)methyl]phenyl}carbamic acid ethyl ester;
 {4-[1-(4-Chlorophenylamino)ethyl]phenyl}carbamic acid ethyl ester;
 {4-[(4-Fluorophenylamino)methyl]-2-methylphenyl}carbamic acid ethyl ester;
 {4-[(4-Chlorophenylamino)methyl]-2-methylphenyl}carbamic acid ethyl ester;
 5 {2-Methyl-4-[(4-trifluoromethylphenylamino)methyl]phenyl}carbamic acid ethyl ester;
 {4-[(3,4-Difluorophenylamino)methyl]-2-methylphenyl}carbamic acid ethyl ester;
 {4-[(3-Fluorophenylamino)methyl]-2-methylphenyl}carbamic acid ethyl ester;
 {2-Chloro-4-[(4-chlorophenylamino)methyl]phenyl}carbamic acid ethyl ester;
 10 {2-Chloro-4-[(4-trifluoromethyl-phenylamino)-methyl]-phenyl}-carbamic acid ethyl ester;
 {2-Chloro-4-[(4-fluorophenylamino)methyl]phenyl}carbamic acid ethyl ester;
 {2-Chloro-4-[(3-fluorophenylamino)methyl]phenyl}carbamic acid ethyl ester;
 {2-Chloro-4-[(3,4-dichlorophenylamino)methyl]phenyl}carbamic acid ethyl ester;
 15 {2-Chloro-4-[(4-chloro-3-fluorophenylamino)methyl]phenyl}carbamic acid ethyl ester;
 {4-[(4-Chlorophenylamino)methyl]-2-fluorophenyl}carbamic acid ethyl ester;
 {4-[(4-Chloro-3-fluorophenylamino)methyl]-2-fluorophenyl}carbamic acid ethyl ester;
 20 {2-Fluoro-4-[(4-trifluoromethylphenylamino)methyl]phenyl}carbamic acid ethyl ester;
 {4'-Dimethylamino-5-[(3-fluorophenylamino)methyl]biphenyl-2-yl}carbamic acid ethyl ester;
 {4'-Dimethylamino-5-[(4-trifluoromethylphenylamino)methyl]biphenyl-2-yl}carbamic acid ethyl ester;
 25 {4'-Chloro-5-[(3-fluorophenylamino)methyl]biphenyl-2-yl}carbamic acid ethyl ester;
 {4'-Chloro-5-[(4-trifluoromethylphenylamino)methyl]biphenyl-2-yl}carbamic acid ethyl ester;
 N-{4-[(4-chlorophenylamino)methyl]phenyl}butyramide;
 30 N-{4-[(3,4-dichlorophenylamino)methyl]phenyl}butyramide;
 N-{4-[(4-chloro-3-fluorophenylamino)methyl]phenyl}butyramide;
 N-{4[(4-fluoro-phenylamino)methyl]-2-methylphenyl}butyramide;
 N-{4[(3-fluorophenylamino)methyl]-2-methylphenyl}butyramide;

N-{4-[(4-chlorophenylamino)methyl]-2-methylphenyl}butyramide;
N-{4-[(3,4-dichlorophenylamino)methyl]-2-methylphenyl}butyramide;
N-{4-[(4-chloro-3-fluorophenylamino)methyl]-2-methylphenyl}butyramide;
N-{2-chloro-4-[(4-trifluoromethylphenylamino)methyl]phenyl}butyramide;
5 *N*-{2-chloro-4-[(4-fluorophenylamino)methyl]phenyl}butyramide;
N-{2-chloro-4-[(3-fluorophenylamino)methyl]phenyl}butyramide;
N-{2-chloro-4-[(4-chlorophenylamino)methyl]phenyl}butyramide;
N-{2-chloro-4-[(3,4-dichlorophenylamino)methyl]phenyl}butyramide;
N-{2-chloro-4-[(4-chloro-3-fluorophenylamino)methyl]phenyl}butyramide;
10 *N*-{2-fluoro-4-[(3-fluorophenylamino)methyl]phenyl}butyramide;
N-{4-[(4-chlorophenylamino)methyl]-2-fluorophenyl}butyramide;
N-{2-fluoro-4-[(4-trifluoromethylphenylamino)methyl]phenyl}butyramide;
N-{4-[(3,4-dichlorophenylamino)methyl]-2-fluorophenyl}butyramide; and
N-{4-[(4-chloro-3-fluorophenylamino)methyl]-2-fluorophenyl}butyramide.

15 or a salt thereof.

17. A pharmaceutical composition comprising one or more pharmaceutically acceptable carriers or diluents and a compound of the below formula I



wherein

U is O, S or NR^{2'};

s is 0 or 1;

X is CO or SO₂;

5 Z is O, S or NR⁴, wherein R⁴ is selected from the group consisting of hydrogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, hydroxy-C₁₋₆-alk(en/yn)yl and hydroxy-C₃₋₈-cycloalk(en)yl;

q is 0 or 1;

10

R¹ and R^{1'} are independently selected from the group consisting of hydrogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, acyl, hydroxy-C₁₋₆-alk(en/yn)yl, hydroxy-C₃₋₈-cycloalk(en)yl, halo-C₁₋₆-alk(en/yn)yl and halo-C₃₋₈-cycloalk(en)yl;

15

R² is selected from the group consisting of hydrogen, halogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, Ar, Ar-C₁₋₆-alk(en/yn)yl, Ar-C₃₋₈-cycloalk(en)yl, acyl, hydroxy-C₁₋₆-alk(en/yn)yl, hydroxy-C₃₋₈-cycloalk(en)yl, halo-C₁₋₆-alk(en/yn)yl, halo-C₃₋₈-cycloalk(en)yl and cyano; provided that when R² is halogen or cyano then s is 0;

20

when s is 1 and U is NR^{2'} then R^{2'} is selected from the group consisting of hydrogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, Ar, Ar-C₁₋₆-alk(en/yn)yl, Ar-C₃₋₈-cycloalk(en)yl, acyl, hydroxy-C₁₋₆-alk(en/yn)yl, hydroxy-C₃₋₈-cycloalk(en)yl, halo-C₁₋₆-alk(en/yn)yl and halo-C₃₋₈-cycloalk(en)yl; or R² and R^{2'} together form a 5-8 membered saturated or unsaturated ring which optionally contains one further heteroatom;

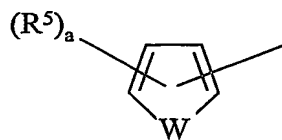
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R³ is selected from the group consisting of C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, Ar, Ar-C₁₋₆-alk(en/yn)yl, Ar-C₃₋₈-cycloalk(en)yl, hydroxy-C₁₋₆-alk(en/yn)yl, hydroxy-C₃₋₈-cycloalk(en)yl, halo-C₁₋₆-alk(en/yn)yl and halo-C₃₋₈-cycloalk(en)yl;

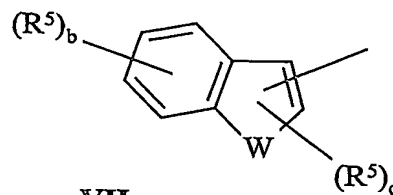
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and

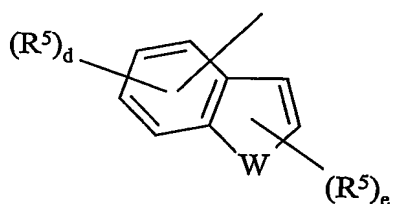
Y represents a group of formulae VI, VII, VIII, IX or XXX:



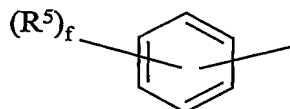
VI



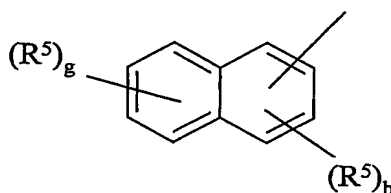
VII



VIII



IX



XXX

5

wherein

10 the line represents a bond attaching the group represented by Y to the nitrogen atom;

W is O or S;

15 a is 0, 1, 2 or 3;

b is 0, 1, 2, 3 or 4;

c is 0 or 1;

d is 0, 1, 2 or 3;

5

e is 0, 1 or 2;

f is 0, 1, 2, 3, 4 or 5;

10

g is 0, 1, 2, 3 or 4;

h is 0, 1, 2 or 3; and

15

each **R**⁵ is independently selected from the group consisting of a C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, Ar, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, Ar-C₁₋₆-alk(en/yn)yl, acyl, C₁₋₆-alk(an/en/yn)yl, halogen, halo-C₁₋₆-alk(en/yn)yl, -CO-NR⁶R^{6'}, cyano, nitro, -NR⁷R^{7'}, -S-R⁸, -SO₂R⁸ and SO₂OR⁸, or two substituents together form a 5-8 membered saturated or unsaturated ring which optionally contains one or two heteroatoms;

20

R⁶ and **R**^{6'} are independently selected from the group consisting of hydrogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl and Ar;

25

R⁷ and **R**^{7'} are independently selected from the group consisting of hydrogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, Ar and acyl; and

30

R⁸ is selected from the group consisting of hydrogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, Ar and -NR⁹R^{9'}; wherein **R**⁹ and **R**^{9'} are independently selected from the group consisting of hydrogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl and C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl; with the provisos that when **R**⁵ is SO₂OR⁸ then **R**⁸ is not -NR⁹R^{9'} and when **R**⁵ is SO₂R⁸, then **R**⁸ is not a hydrogen atom;

or salts thereof;

with the proviso that the compound of formula I is not:

5 2-[[[4-(acetylamino)phenyl]methyl]amino]-5-chloro-N-(5-chloro-2-pyridinyl)-benzamide;

N-[4-[[[3,4,5-trimethoxyphenyl]amino]methyl]phenyl]-acetamide;

N-[4-[[[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]amino]methyl]phenyl]-acetamide;

10 N-[4-[[[3-(1H-imidazol-1-ylmethyl)phenyl]amino]methyl]phenyl]-acetamide;

N-[4-[[[2-(1H-imidazol-1-ylmethyl)phenyl]amino]methyl]phenyl]-acetamide;

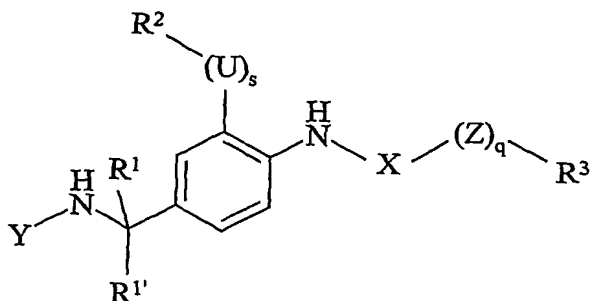
N-[4-[[[4-(1H-imidazol-1-ylmethyl)phenyl]amino]methyl]phenyl]-acetamide;

N-[4-[[[4-amino-3,5-dichlorophenyl]amino]methyl]phenyl]-acetamide;

N-[4-[[[2,4-diamino-6-quinazoliny]amino]methyl]phenyl]-acetamide; or

15 N-[4-[[[2,4-diamino-6-quinazoliny]amino]methyl]phenyl]-acetamide.

18. Use of a pharmaceutical composition one or more pharmaceutically acceptable carriers or diluents and a compound of the below formula I



(I)

20

wherein

25 U is O, S or NR^{2'};

s is 0 or 1;

X is CO or SO₂;

Z is O, S or NR⁴, wherein **R**⁴ is selected from the group consisting of hydrogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, hydroxy-C₁₋₆-alk(en/yn)yl and hydroxy-C₃₋₈-cycloalk(en)yl;

q is 0 or 1;

R¹ and **R**^{1'} are independently selected from the group consisting of hydrogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, acyl, hydroxy-C₁₋₆-alk(en/yn)yl, hydroxy-C₃₋₈-cycloalk(en)yl, halo-C₁₋₆-alk(en/yn)yl and halo-C₃₋₈-cycloalk(en)yl;

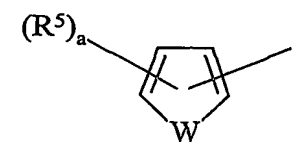
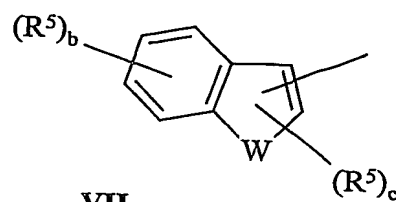
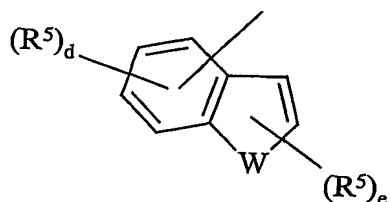
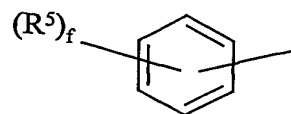
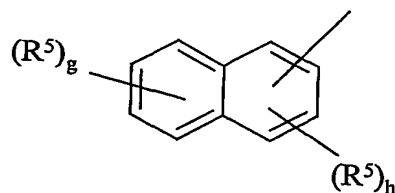
R² is selected from the group consisting of hydrogen, halogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, Ar, Ar-C₁₋₆-alk(en/yn)yl, Ar-C₃₋₈-cycloalk(en)yl, acyl, hydroxy-C₁₋₆-alk(en/yn)yl, hydroxy-C₃₋₈-cycloalk(en)yl, halo-C₁₋₆-alk(en/yn)yl, halo-C₃₋₈-cycloalk(en)yl and cyano; provided that when **R**² is halogen or cyano then **s** is 0;

when **s** is 1 and **U** is NR^{2'} then **R**^{2'} is selected from the group consisting of hydrogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, Ar, Ar-C₁₋₆-alk(en/yn)yl, Ar-C₃₋₈-cycloalk(en)yl, acyl, hydroxy-C₁₋₆-alk(en/yn)yl, hydroxy-C₃₋₈-cycloalk(en)yl, halo-C₁₋₆-alk(en/yn)yl and halo-C₃₋₈-cycloalk(en)yl; or **R**² and **R**^{2'} together form a 5-8 membered saturated or unsaturated ring which optionally contains one further heteroatom;

R³ is selected from the group consisting of C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, Ar, Ar-C₁₋₆-alk(en/yn)yl, Ar-C₃₋₈-cycloalk(en)yl, hydroxy-C₁₋₆-alk(en/yn)yl, hydroxy-C₃₋₈-cycloalk(en)yl, halo-C₁₋₆-alk(en/yn)yl and halo-C₃₋₈-cycloalk(en)yl;

and

Y represents a group of formulae **VI**, **VII**, **VIII**, **IX** or **XXX**:

**VI****VII****VIII****IX****XXX**

5

wherein

the line represents a bond attaching the group represented by **Y** to the nitrogen atom;

10

W is O or S;

a is 0, 1, 2 or 3;

15

b is 0, 1, 2, 3 or 4;

c is 0 or 1;

d is 0, 1, 2 or 3;

5 e is 0, 1 or 2;

f is 0, 1, 2, 3, 4 or 5;

g is 0, 1, 2, 3 or 4;

10

h is 0, 1, 2 or 3; and

each R^5 is independently selected from the group consisting of a C_{1-6} -alk(en/yn)yl, C_{3-8} -cycloalk(en)yl, Ar, C_{3-8} -cycloalk(en)yl- C_{1-6} -alk(en/yn)yl, Ar- C_{1-6} -alk(en/yn)yl, acyl, C_{1-6} -alk(an/en/yn)yl, halogen, halo- C_{1-6} -alk(en/yn)yl, -CO-NR⁶R^{6'}, cyano, nitro, -NR⁷R^{7'}, -S-R⁸, -SO₂R⁸ and SO₂OR⁸, or two substituents together form a 5-8 membered saturated or unsaturated ring which optionally contains one or two heteroatoms;

20 R^6 and $R^{6'}$ are independently selected from the group consisting of hydrogen, C_{1-6} -alk(en/yn)yl, C_{3-8} -cycloalk(en)yl, C_{3-8} -cycloalk(en)yl- C_{1-6} -alk(en/yn)yl and Ar;

25 R^7 and $R^{7'}$ are independently selected from the group consisting of hydrogen, C_{1-6} -alk(en/yn)yl, C_{3-8} -cycloalk(en)yl, C_{3-8} -cycloalk(en)yl- C_{1-6} -alk(en/yn)yl, Ar and acyl; and

30 R^8 is selected from the group consisting of hydrogen, C_{1-6} -alk(en/yn)yl, C_{3-8} -cycloalk(en)yl, C_{3-8} -cycloalk(en)yl- C_{1-6} -alk(en/yn)yl, Ar and -NR⁹R^{9'}; wherein R^9 and $R^{9'}$ are independently selected from the group consisting of hydrogen, C_{1-6} -alk(en/yn)yl, C_{3-8} -cycloalk(en)yl and C_{3-8} -cycloalk(en)yl- C_{1-6} -alk(en/yn)yl; with the provisos that when R^5 is SO₂OR⁸ then R^8 is not -NR⁹R^{9'} and when R^5 is SO₂R⁸, then R^6 is not a hydrogen atom;

or salts thereof

for increasing ion flow in a potassium channel of a mammal such as a human.

- 5 19. Use according to Claim 18 for the prevention, treatment or inhibition of a disorder or condition being responsive to an increased ion flow in a potassium channel, such disorder or condition is preferably a disorder or condition of the central nervous system.
- 10 20. Use according to claim 19 characterized in that the disorder or condition is selected from the group consisting of seizure disorders such as convulsions, epilepsy and status epilepticus.
- 15 21. Use according to claim 19 characterized in that the disorder or condition is selected from the group consisting of neuropathic and migraine pain disorders such as allodynia, hyperalgesic pain, phantom pain, neuropathic pain related to diabetic neuropathy and neuropathic pain related to migraine.
- 20 22. Use according to claim 19 characterized in that the disorder or condition is selected from the group consisting of anxiety disorders such as anxiety, generalized anxiety disorder, panic anxiety, obsessive compulsive disorder, social phobia, performance anxiety, post-traumatic stress disorder, acute stress reaction, adjustment disorders, hypochondriacal disorders, separation anxiety disorder, agoraphobia, specific phobias, anxiety disorder due to general medical condition
- 25 and substance-induced anxiety disorder.
- 30 23 Use according to claim 19 characterized in that the disorder or condition is selected from the group consisting of and neurodegenerative disorders such as Alzheimer's disease, Huntington's chorea, multiple sclerosis, amyotrophic lateral sclerosis, AIDS-induced encephalopathy and other infection-related encephalopathies being caused by rubella viruses, herpes viruses, borrelia and by unknown pathogens, Creutzfeld-Jakob disease, Parkinson's disease, trauma-induced neurodegenerations.

24. Use according to claim 19 characterized in that the disorder or condition is selected from the group consisting of neuronal hyperexcitation states such as in medicament withdrawal or by intoxication.